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L7 ANSWER 1 OF 1 ZCA COPYRIGHT 2007 ACS on STN
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- AN 142:280210 ZCA Full-text
- TI Preparation of 2-aminobenzimidazoles as TIE-2 and Raf kinase inhibitors for the treatment of tumors
- IN Hoelzemann, Guenter; Crassier, Helene; Ackermann, Karl-August; Staehle, Wolfgang; Jonczyk, Alfred; Rautenberg, Wilfried; Mitjans, Francesco; Rosell-Vives, Elisabet; Adan, Jaume; Soler, Marta
- PA Merck Patent GmbH, Germany
- SO PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

FAN.	PATENT NO.					KIND DATE			APPLICATION NO.										
ΡI	WO	WO 2005019216							WO 2004-EP8042										
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	AU	2004266797				A1 20050303				AU 2004-266797						20040719			
	CA	2536095				A1 20050303			CA 2004-2536095						20040719				
	ΕP	1656377				A1 20060517			EP 2004-741135						20040719				
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK					
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	US	2007		A1	A1 20070125				US 2006-568626					20060216					
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	WO 2004-EP8042					M		2004	0719										
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AB Title compds. I [R1 = (R4)m; R2 = (R4')p; R3 = L-Y; R4, R4' = halo, OH, CN, etc.; L = CH2, CH2CH2, O, etc.; Y = heterocycle; m, p = 0-4] and their pharmaceutically acceptable salts were prepared For example, condensation of 4-fluoronitrobenzene and isothiocyanate II, e.g., prepared from 5-hydroxy-2,1,3-benzothiadiazole in 3-steps, afforded aminobenzimidazole III. In TIE-2 tyrosine kinase receptor inhibition assays, 4-examples of compds. I exhibited IC50 values

ranging from 0.22-0.39  $\mu\text{M},$  e.g., the IC50 value of aminobenzimidazole III was 0.22  $\mu\text{M}.$  Compds. I are claimed to be useful for the treatment of tumors via the inhibition of TIE-2 and Raf kinases.